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PASSWORD:

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NEWS 1      Web Page for STN Seminar Schedule - N. America
NEWS 2 JUL 28 CA/CAPLUS patent coverage enhanced
NEWS 3 JUL 28 EPFULL enhanced with additional legal status
           information from the epline Register
NEWS 4 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5 JUL 28 STN Viewer performance improved
NEWS 6 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7 AUG 13 CA/CAPLUS enhanced with printed Chemical Abstracts
           page images from 1967-1998
NEWS 8 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 9 AUG 15 CAPLUS currency for Korean patents enhanced
NEWS 10 AUG 27 CAS definition of basic patents expanded to ensure
           comprehensive access to substance and sequence
           information
NEWS 11 SEP 18 Support for STN Express, Versions 6.01 and earlier,
           to be discontinued
NEWS 12 SEP 25 CA/CAPLUS current-awareness alert options enhanced
           to accommodate supplemental CAS indexing of
           exemplified prophetic substances
NEWS 13 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and
           and Korean patents enhanced
NEWS 14 SEP 29 IFICLS enhanced with new super search field
NEWS 15 SEP 29 EMBASE and EMBAL enhanced with new search and
           display fields
NEWS 16 SEP 30 CAS patent coverage enhanced to include exemplified
           prophetic substances identified in new Japanese-
           language patents
NEWS 17 OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS 18 OCT 07 Multiple databases enhanced for more flexible patent
           number searching
NEWS 19 OCT 22 Current-awareness alert (SDI) setup and editing
           enhanced
NEWS 20 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
           Applications
NEWS 21 OCT 24 CHEMLIST enhanced with intermediate list of
           pre-registered REACH substances

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
           AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS    STN Operating Hours Plus Help Desk Availability

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NEWS LOGIN      Welcome Banner and News Items  
 NEWS IPC8      For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:07:55 ON 27 OCT 2008

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Uploading

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=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:08:08 ON 27 OCT 2008

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STRUCTURE FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4

DICTIONARY FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

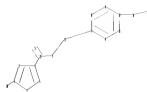
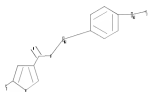
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

10576095

=>

Uploading C:\Program Files\Stnexp\Queries\10576095.str



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12 13 14 15 16 17 24
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
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ring bonds :
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exact/norm bonds :
2-24 12-13 12-15 13-14 16-17
exact bonds :
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normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :
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G1:CO2H,COOH,Hy

G2:Ph,Cy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 24:CLASS

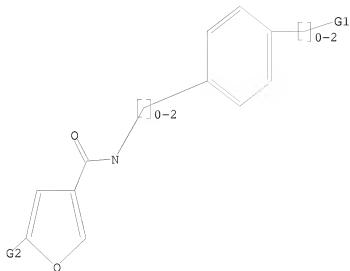
10576095

L1 STRUCTURE UPLOADED

=> D L1

L1 HAS NO ANSWERS

L1 STR



G1 CO<sub>2</sub>H, COOH, Hy

G2 Ph, Cy

Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 14:08:26 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 861 TO ITERATE

100.0% PROCESSED 861 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 15460 TO 18980

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> S L1 SSS FULL

FULL SEARCH INITIATED 14:08:33 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 17129 TO ITERATE

100.0% PROCESSED 17129 ITERATIONS

65 ANSWERS

SEARCH TIME: 00.00.01

L3 65 SEA SSS FUL L1

=> FIL HCAPLUS  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
178.36	178.57

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 14:08:41 ON 27 OCT 2008  
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FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18  
FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L3

L4 5 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2006:164628 HCAPLUS  
DOCUMENT NUMBER: 144:253998  
TITLE: Preparation of 2,7-diazabicyclo[3.3.0]octanes and related compounds as antiobesity agents  
INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Hessler, Gerhard; Lennig, Petra  
PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland G.m.b.H., Germany  
SOURCE: PCT Int. Appl., 132 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

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DE 102004039789 A1 20060302 DE 2004-102004039789 20040816  
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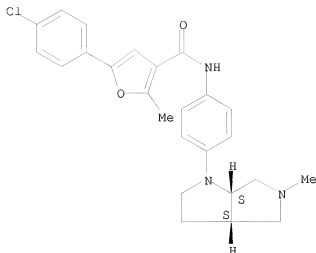
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CN 101006089 A 20070725 CN 2005-80027915 20050816  
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KR 2007046868 A 20070503 KR 2007-703882 20070216  
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NO 2007001271 A 20070316 NO 2007-1271 20070308

PRIORITY APPLN. INFO.: DE 2004-102004039789A 20040816





L4 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:371241 HCAPLUS

DOCUMENT NUMBER: 142:411215

TITLE: Preparation of furan derivatives as EP4 receptor antagonists

INVENTOR(S): Clark, David Edward; Harris, Neil Victor; Fenton, Garry; Hynd, George; Stuttle, Keith Alfred James; Sutton, Jonathan Mark; Oxford, Alexander William; Davis, Richard Jon; Coleman, Robert Alexander; Clark, Kenneth Lyle

PATENT ASSIGNEE(S): Pharmagene Laboratories Limited, UK

SOURCE: PCI Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

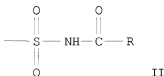
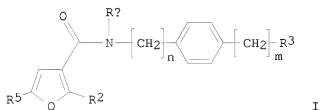
PATENT INFORMATION:

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US 20070135503	A1	20070614	US 2006-576095	20060414
IN 2006DN02280	A	20070810	IN 2006-DN2280	20060425
NO 2006002187	A	20060707	NO 2006-2187	20060515
PRIORITY APPLN. INFO.:			GB 2003-24269	A 20031016
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OTHER SOURCE(S): CASREACT 142:411215; MARPAT 142:411215

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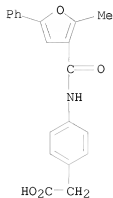


- AB Title compds. I [R2, R5 = H, (un)substituted alkyl, (un)substituted aryl, etc.; m, n = 0, 1, m + n = 1, 2; RN = H, (un)substituted alkyl; R3 = II, carboxy, tetrazol-5-yl, etc.; R = (un)substituted alkyl, (un)substituted aryl, NRN3RN4; RN3, RN4 = (un)substituted alkyl] and their salts were prepared. For example, Pd catalyzed coupling reaction of {4-[(5-bromofuran-3-carbonyl)amino]phenyl}acetic acid Et ester, e.g., prepared from furan-3-carboxylic acid in 2 steps, with phenylboronic acid followed by hydrolysis using NaOH afforded compound I [R2 = H; R5 = phenyl; R3 = CO2H; RN = H; n = 0; m = 1]. In EP4 receptor binding assays, the pKi value of compound I [R2 = H; R5 = phenyl; R3 = CO2H; RN = H; n = 0; m = 1] was >6. Compds. I are claimed useful for the treatment of headache, migraine.
- IT 352338-59-1P 850553-45-6P 850553-47-8P  
850553-50-3P 850553-53-6P 850553-58-1P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of furan derivs. as EP4 receptor antagonists for treatment of headache, migraine)

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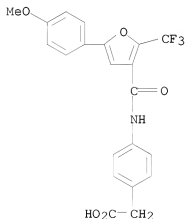
RN 352338-59-1 HCAPLUS

CN Benzeneacetic acid, 4-[[[2-methyl-5-phenyl-3-furanyl)carbonyl]amino]- (CA INDEX NAME)



RN 850553-45-6 HCAPLUS

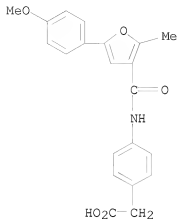
CN Benzeneacetic acid, 4-[[[5-(4-methoxyphenyl)-2-(trifluoromethyl)-3-furanyl)carbonyl]amino]- (CA INDEX NAME)



RN 850553-47-8 HCAPLUS

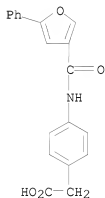
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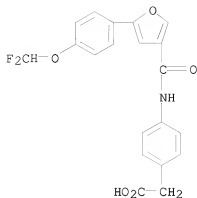
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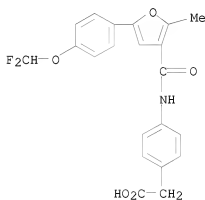
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RN 850553-58-1 HCAPLUS

CN Benzenecetic acid, 4-[[[5-[4-(difluoromethoxy)phenyl]-2-methyl-3-furanyl]carbonyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:696342 HCAPLUS

DOCUMENT NUMBER: 141:225302

TITLE: Preparation of N-arylheterocycles as melanin concentrating hormone (MCH) antagonists.

INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Boehme, Thomas; Hessler, Gerhard; Stahl, Petra; Gretzke, Dirk

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany; Aventis Pharma GmbH

SOURCE: PCI Int. Appl., 390 pp.

CODEN: PIXXD2

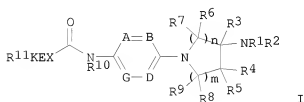
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004072025	A3	20041223		
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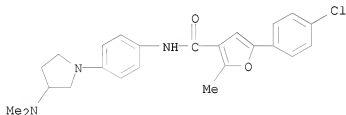


AB Title compds. [I; R1, R2 = H, alkyl, alkoxyalkyl, aryloxyalkyl, alkylcarbonyl, alkenylcarbonyl, etc.; R1R2N = atoms to form a 4-10 membered mono-, bi-, or spirocyclic (substituted) ring; R3 = H, alkyl; R4, R5 = H, alkyl, OH, alkoxy, alkylcarbonyloxy, alkylthio; R6-R9 = H, alkyl; R6R7, R8R9 = O; A, B, D, G = N, CR42; AB, DG = CR42; R42 = H, F, Cl, Br, iodo, CF3, NO2, cyano, OCF3, alkoxy, alkylthio, alkenyl, cycloalkyl, cycloalkoxy, cycloalkenyl, alkynyl, CO2H, etc.; R10 = H, alkyl, alkenyl, alkynyl; X = NR52, O, bond, C:C, C.tplbond.C, etc.; R52 = H, alkyl; E = (substituted) C3-14 carbocyclyl, heterocyclyl; K = bond, O, CH2O, S, SO, CO, C:C, C.tplbond.C, etc.; R11 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl,

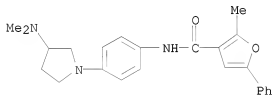
3-10 membered (substituted) mono-, bi-, tri- or spirocyclic ring; EKR11 = (unsatd.) tricyclic ring; m, n = 0-2], were prepared Thus, N-[1-(4-aminophenyl)pyrrolidin-3-yl]piperidine was treated with carbonyldiimidazole and then with 4-(4-chlorophenyl)piperidine to give 4-(4-chlorophenyl)piperidine-1-carboxylic acid [4-[3-(acetylmethylamino)pyrrolidin-1-yl]phenyl]amide. The latter at 30 mg/kg orally in female NMRI mice reduced milk consumption by 64%.

IT 748174-50-7P 748175-30-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-arylheterocycles as MCH antagonists)

RN 748174-50-7 HCAPLUS  
 CN 3-Furancarboxamide, 5-(4-chlorophenyl)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 748175-30-6 HCAPLUS  
 CN 3-Furancarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-2-methyl-5-phenyl- (CA INDEX NAME)



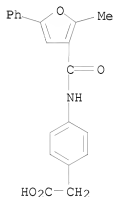
L4 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:200984 HCAPLUS  
 DOCUMENT NUMBER: 136:386363  
 TITLE: Acylation of amino acids with furancarboxylic acid chlorides  
 AUTHOR(S): Lepina, I. M.; Pevzner, L. M.  
 CORPORATE SOURCE: St. Petersburg Institute of Technology, St. Petersburg, Russia  
 SOURCE: Russian Journal of General Chemistry (Translation of Zhurnal Obshchei Khimii) (2001), 71(9), 1479-1483  
 CODEN: RJGCEK; ISSN: 1070-3632  
 PUBLISHER: MAIK Nauka/Interperiodica Publishing  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:386363

AB Acylation of aromatic amino acids with furancarboxylic acid chlorides effectively proceeded in water-acetone medium at pH 8-9. Aliphatic amino acids are acylated at higher pH values, but under these conditions hydrolysis of the acid chlorides became the main process. Acylation of HCl salts of aliphatic amino acid Me esters proceeded smoothly in chloroform in the presence of triethylamine. Alkaline hydrolysis of the resulting products gave the N-(furancarbonyl)amino acids.

IT 352338-59-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of (furancarbonyl)amino acids via acylation of amino acids with furancarboxylic acid chlorides)

RN 352338-59-1 HCAPLUS

CN Benzeneacetic acid, 4-[[[(2-methyl-5-phenyl-3-furanyl)carbonyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:658115 HCAPLUS

DOCUMENT NUMBER: 133:238010

TITLE: Preparation of pyrazole derivatives as blockers of calcium release-activated calcium channel (CRACC)

INVENTOR(S): Kubota, Koichi; Yoshimura, Noriko; Okamoto, Yoshinori; Yonetoku, Yasuhiro; Naito, Makoto; Ishikawa, Atsushi; Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.  
 CODEN: JKXXAF

DOCUMENT TYPE: Patent

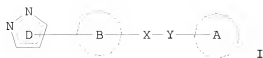
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000256358	A	20000919	JP 1999-62900	19990310
PRIORITY APPLN. INFO.:			JP 1999-62900	19990310
OTHER SOURCE(S):	MARPAT	133:238010		

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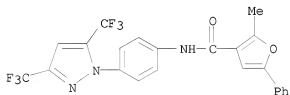
AB The title compds. (I; ring D = pyrazolyl optionally substituted with 1-3 substituents selected from lower alkyl, alkenyl, alkynyl, or haloalkyl, lower alkylene-cycloalkyl, lower alkylene-O-lower alkyl, cycloalkyl, O-lower alkyl, CO<sub>2</sub>H, lower alkoxy-carbonyl, and halo; ring B = phenylene or optionally lower-substituted bivalent monocyclic aromatic heterocyclic ring; X = NR<sub>1</sub>CO, CONR<sub>1</sub>, NR<sub>1</sub>SO<sub>2</sub>, SO<sub>2</sub>NR<sub>1</sub>; wherein R<sub>1</sub> = H, OH, lower alkyl, O-lower alkyl, lower alkyl-carbonyl; Y = bond, CO, lower alkylene, or lower alkenylene; ring A = Ph having at least one substituent selected from HO, O-lower alkyl, and F, or optionally substituent mono-, bi-, or tricyclic condensed heteroaryl; provided that when Y is a bond, ring A represents a group other than heteroaryl selected from thienyl, pyrrolyl, imidazolyl, thiazolyl, oxazolyl, thiadiazolyl, pyridyl, pyrazinyl, and isoquinolyl) and pharmaceutically acceptable salts thereof are prepared. These compds. exhibit the inhibitory activity against CRACC and the production of interleukin-2 and are useful for the prevention or treatment of allergies, inflammations, and autoimmune diseases. Thus, 2,1,3-benzoxadiazole-5-carbonyl chloride and Et<sub>3</sub>N were successively added to a mixture of 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline and CH<sub>2</sub>Cl<sub>2</sub> and stirred at room temperature for 8.5 h to give N-[(2,1,3-benzoxadiazol-5-yl)carbonyl]-4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline. Preferred compds. I inhibited thapsigargin-stimulated increase in calcium concentration with IC<sub>50</sub> of ≤1 μM and the production of interleukin-2 with IC<sub>50</sub> of ≤0.1 μM in Jurkat cell.

IT 292610-72-1P 292610-84-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyrazole derivs. as blockers of calcium release-activated calcium channel and inhibitors of interleukin-2 production)

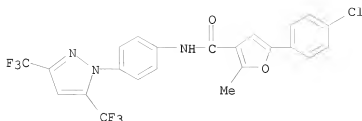
RN 292610-72-1 HCAPLUS

CN 3-Furancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-methyl-5-phenyl- (CA INDEX NAME)



RN 292610-84-5 HCAPLUS

CN 3-Furancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-(4-chlorophenyl)-2-methyl- (CA INDEX NAME)



=> FIL REGISTRY  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-4.00	-4.00

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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4  
DICTIONARY FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

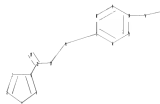
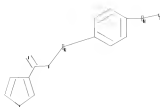
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predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10576095A.str



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chain nodes :
12 13 14 15 16 17
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
4-12 7-14 10-16 12-13 12-15 13-14 16-17
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
12-13 12-15 13-14 16-17
exact bonds :
1-2 1-5 2-3 3-4 4-5 4-12 7-14 10-16
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

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G1:CO2H,COOH,Hy

G2:Ph,Cy

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

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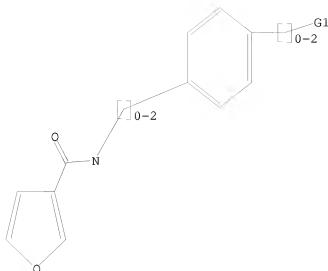
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=> D L5

L5 HAS NO ANSWERS

10576095

L5 STR



G1 CO<sub>2</sub>H, COOH, Hy

G2 Ph, Cy

Structure attributes must be viewed using STN Express query preparation.

=> S L5

SAMPLE SEARCH INITIATED 14:12:24 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 861 TO ITERATE

100.0% PROCESSED 861 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 15460 TO 18980

PROJECTED ANSWERS: 56 TO 504

L6 14 SEA SSS SAM L5

=> S L5 SSS FULL

FULL SEARCH INITIATED 14:12:30 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 17129 TO ITERATE

100.0% PROCESSED 17129 ITERATIONS

323 ANSWERS

SEARCH TIME: 00.00.01

L7 323 SEA SSS FUL L5

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

400.32

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	0.00	-4.00

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FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18  
 FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L8      55 L7

=> S L8 AND PY<=2003
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L9      30 L8 AND PY<=2003

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L15 11 L14 AND US/PC

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L15 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2002:31421 HCAPLUS  
DOCUMENT NUMBER: 136:102400  
TITLE: Preparation of nonpeptide substituted  
spirobenzoazepines as vasopressin antagonists  
INVENTOR(S): Chen, Robert H.; Xiang, Min A.  
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 106 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

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WO 2002002531	A1	20020110	WO 2001-US21080	20010702 <--
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US 20030045517	A1	20030306	US 2001-897206	20010702 <--
US 7001898	B2	20060221		
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HU 2003001590	A3	20050128		
JP 2004502677	T	20040129	JP 2002-507788	20010702
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ES 2250432	T3	20060416	ES 2001-950821	20010702

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ZA 2003000972	A 20040504	ZA 2003-972	20030204
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US 7238687	B2 20070703		
US 20070135409	A1 20070614	US 2006-549678	20061016 <--
US 20070117790	A1 20070524	US 2006-564471	20061129 <--
US 7365062	B2 20080429		

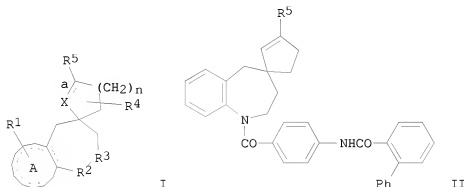
## PRIORITY APPLN. INFO.:

US 2000-216220P	P 20000705
US 2001-897206	A3 20010702
WO 2001-US21080	W 20010702
US 2003-440914	A3 20030519

## OTHER SOURCE(S):

MARPAT 136:102400

GI



AB The invention is directed to nonpeptide substituted benzodiazepines of formula I; R1 is one to three members independently selected from H, halo, (un)substituted NH2, HO, alkyloxy, Ph, substituted Ph, alkylthio, arylthio, alkylsulfoxide, arylsulfoxide, alkylsulfone, and arylsulfone; R2-R3 = N(COR')-CH2 or CH2-N(COR') (wherein R' = (un)substituted alkyl, Ph, or heteroaryl, etc.); R4 is one or two members independently selected from the group consisting of H, and (un)substituted alkyl and phenyl; R5 = H, alkyl, substituted alkyl, aldehyde, carboxy, (un)substituted alkoxycarbonyl, (CH2)kNZ1Z2 and CONZ1Z2 (wherein k = an integer from 1-4; Z1, Z2 = H, (un)substituted alkyl, heterocyclyl, or aminocarbonyl or N, Z1 and Z2 together form (un)substituted heterocyclyl or substituted heteroaryl); a represents a single or double bond provided that when R1 is iodine, bromine, alkylthio, arylthio, alkylsulfone, or arylsulfone, a is a double bond; A = aryl, naphthyl, heteroaryl; X = CH, CH2, CHOH, CO; and n = 1, 2, or 3] or optical isomers, enantiomers, diastereomers, or racemates thereof, or pharmaceutically acceptable salts thereof. These compds. are useful as vasopressin receptor antagonists for treating conditions associated with vasopressin receptor activity such as those involving increased vascular resistance and cardiac insufficiency. Also claimed are pharmaceutical compns. comprising a compound of formula I and methods of treating conditions such as inner ear

disorders, hypertension, congestive heart failure, cardiac insufficiency, coronary vasospasm, cardiac ischemia, liver cirrhosis, renal vasospasm, renal failure, cerebral edema and ischemia, stroke, thrombosis, water retention, aggression, obsessive-compulsive disorders, dysmenorrhea, nephrotic syndrome, and central nervous injuries. Thus, NaBH<sub>4</sub> reduction of 3'-formyl-4-aza-[6,4]-spiro-[5,6]-benzoundec-2'-ene derivative (II; R<sub>5</sub> = CHO) gave II (R<sub>5</sub> = CH<sub>2</sub>OH) (III). III and II (R<sub>5</sub> = CONHCH<sub>2</sub>CH<sub>2</sub>NMe<sub>2</sub>) in vitro showed IC<sub>50</sub> of <0.01 and 0.002 μM, resp., for inhibiting the vasopressin-stimulated increase in intracellular calcium mobilization in HEK-293 cell line expressing human V1a receptor.

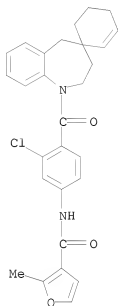
IT 388599-75-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nonpeptide substituted spirobenzazepines as vasopressin antagonists for therapeutic agents)

RN 388599-75-5 HCAPLUS

CN 3-Furancarboxamide, N-[3-chloro-4-[(1,2,3,5-tetrahydrospiro[4H-1-benzazepine-4,1'-(2)cyclohexen]-1-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:911255 HCAPLUS

DOCUMENT NUMBER: 134:71585

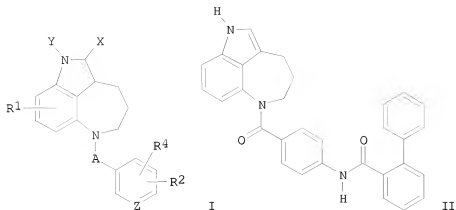
TITLE: Indolozepines as vasopressin receptor antagonists

INVENTOR(S): Hoekstra, William J.; Greco, Michael N.; Hecker, Leonard R.; Maryanoff, Bruce; Matthews, Jay M.

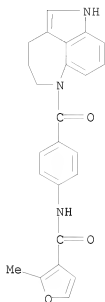
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA; Cor Therapeutics, Inc.

SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

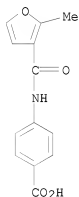
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CA 2375268	A1	20001228	CA 2000-2375268	20000615 <--
EP 1214323	A1	20020619	EP 2000-941464	20000615 <--
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AT 282621	T	20041215	AT 2000-941464	20000615
PT 1214323	T	20050228	PT 2000-941464	20000615
ES 2233402	T3	20050616	ES 2000-941464	20000615
NO 2001006155	A	20020215	NO 2001-6155	20011217 <--
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IN 2001KN01342	A	20050311	IN 2001-KN1342	20011219
ZA 2002000401	A	20030416	ZA 2002-401	20020116 <--
PRIORITY APPLN. INFO.:			US 1999-139628P	P 19990617
			US 2000-592520	A 20000612
			WO 2000-US16549	W 20000615
OTHER SOURCE(S):	MARPAT 134:71585			
GI				



- AB The invention is directed to tricyclic indoloazepine compds. I [A = CO, SO<sub>2</sub> or CH<sub>2</sub>; dotted line = optional pi bond; X = H, halo, acyl, alkyl, aralkyl, alkylsulfonyl, arylsulfonyl, alkylaminoalkyl, SO<sub>3</sub>H, or oxo; Y = H, alkyl, aralkyl, alkylcarbonyl, alkoxycarbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, or alkylaminocarbonyl; Z = N or CH; R<sub>1</sub> = H, alkyl, alkoxy, halo, aminoalkyl, or NO<sub>2</sub>; R<sub>2</sub> = H, NR<sub>3</sub>CO-Ar, NR<sub>3</sub>CO-HetAr, NR<sub>3</sub>-Ar, CH:CH-Ar, CF:CH-Ar, CH:CF-Ar, CCl:CH-Ar, CH:CCl-Ar, CH:CH-HetAr, CF:CH-HetAr, CH:CF-HetAr, CCl:CH-HetAr, OCH<sub>2</sub>-Ar, etc.; Ar = (un)substituted aryl; HetAr = (un)substituted heteroaryl; R<sub>3</sub> = H, alkyl; R<sub>4</sub> = H, alkyl, alkoxy, halo, fluoroalkyl, fluoroalkoxy] and their pharmaceutically acceptable salts. Also claimed are pharmaceutical compns. comprising the compds., and methods of treating various conditions using them. In particular, the compds. are useful as vasopressin receptor antagonists, used for treating a variety of conditions involving increased vascular resistance and cardiac insufficiency which include hypertension, congestive heart failure, cardiac insufficiency, coronary vasospasm, cardiac ischemia, liver cirrhosis, renal vasospasm, renal failure, cerebral edema and ischemia, stroke, thrombosis, and water retention. Ten specific synthesized examples are given. For instance, the precursor lactam 3,4,5,6-tetrahydro-1H-azepino[4,3,2-cd]indol-5-one was reduced with LiAlH<sub>4</sub> to give the corresponding cyclic amine, which was amidated with the acid chloride derived from 4-[(2-biphenylcarbonyl)amino]benzoic acid to give title compound II. At 10 mg/kg/h i.d. in anesthetized rats, II reduced vasopressin-induced hypertension by 17%. In vitro bindings to V-1 and V-2 receptors were also determined
- IT 314746-00-4P, 6-[4-[(2-Methyl-3-furanyl)carbonyl]amino]benzoyl]-3,4,5,6-tetrahydro-1H-azepino[4,3,2-cd]indole  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of indoloazepines as vasopressin receptor antagonists)
- RN 314746-00-4 HCAPLUS
- CN 3-Furancarboxamide, 2-methyl-N-[4-[(1,3,4,5-tetrahydro-6H-pyrrolo[4,3,2-ef][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)



IT 314746-09-3, 4-[[[(2-Methyl-3-furanyl)carbonyl]amino]benzoic acid  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; preparation of indoloazepines as vasopressin  
 receptor antagonists)  
 RN 314746-09-3 HCAPLUS  
 CN Benzoic acid, 4-[[[(2-methyl-3-furanyl)carbonyl]amino]- (CA INDEX NAME)



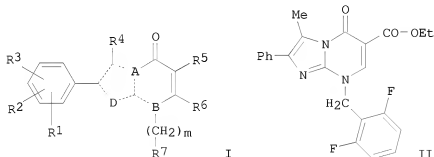
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:460419 HCAPLUS  
 DOCUMENT NUMBER: 131:87922  
 TITLE: Nitrogen-containing heterocyclic compounds, including  
 imidazopyrimidines, and their production and use as  
 GnRH antagonists  
 INVENTOR(S): Furuya, Shuichi; Imaeda, Toshihiro; Sasaki, Satoshi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 152 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933831	A1	19990708	WO 1998-JP5841	19981224 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2300479	A1	19990708	CA 1998-2300479	19981224 <--
AU 9916871	A	19990719	AU 1999-16871	19981224 <--
EP 1042325	A1	20001011	EP 1998-961503	19981224 <--
EP 1042325	B1	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 305007	T	20051015	AT 1998-961503	19981224
JP 11315079	A	19991116	JP 1998-368832	19981225 <--
US 6194419	B1	20010227	US 1999-147616	19990202 <--
US 6413972	B1	20020702	US 2000-711139	20001114 <--
US 20020103210	A1	20020801	US 2002-42229	20020111 <--
US 6962919	B2	20051108		
PRIORITY APPLN. INFO.:			JP 1997-358998	A 19971226
			JP 1998-54022	A 19980305
			WO 1998-JP5841	W 19981224
			US 1999-147616	A3 19990202
			US 2000-711139	A3 20001114

OTHER SOURCE(S): MARPAT 131:87922  
 GI



AB Title compds. I [wherein one of A and D is N and the other is C, or both are N; B is N or C; m is 0-3; R1, R2 and R3 are each (i) H or (ii) a group bound via C, N, O or S; R4 is a group bound via C; R5 is H or a group bound via C or O; R6 is H or a group bound via C; R7 is a homo- or

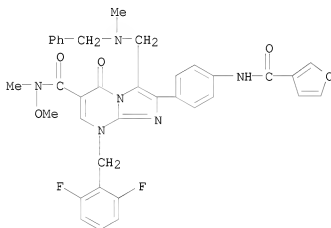
heterocyclic group which may be substituted] and salts possess excellent gonadotropin-releasing hormone (GnRH) antagonizing activity, and are useful as prophylactic or therapeutic agents for sex hormone-dependent diseases, including cancer. Thus, title compound II is obtained in 3 steps, by cyclocondensation of 2-amino-4-hydroxypyrimidine-5-carboxylic acid Et ester with 2-bromopropiophenone, followed by reduction with Zn and AcOH, and N-alkylation with 2,6-difluorobenzyl chloride. In a test for inhibition of binding of [125I]-leuporelin at human GnRH receptors expressed in CHO cells, an exemplary compound I showed an IC<sub>50</sub> of 0.5 nM.

IT 229484-86-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of imidazopyrimidines as gonadotropin-releasing hormone antagonists useful for prevention and treatment of sex hormone dependent diseases and cancer)

RN 229484-86-0 HCAPLUS

CN Imidazo[1,2-a]pyrimidine-6-carboxamide, 8-[ (2,6-difluorophenyl)methyl]-2-[4-[(3-furanylcarbonyl)amino]phenyl]-5,8-dihydro-N-methoxy-N-methyl-3-[[methyl(phenylmethyl)amino]methyl]-5-oxo- (CA INDEX NAME)



IT 229484-83-7P 229484-84-8P 229484-88-2P

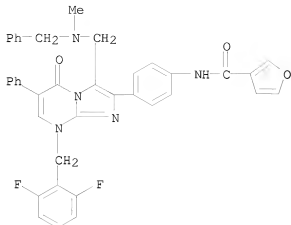
229485-03-4P 229485-15-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyrimidines as gonadotropin-releasing hormone antagonists useful for prevention and treatment of sex hormone dependent diseases and cancer)

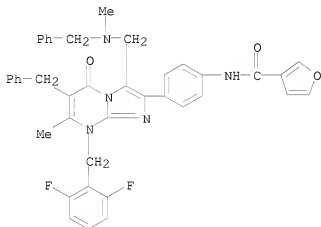
RN 229484-83-7 HCAPLUS

CN 3-Furancarboxamide, N-[4-[8-[(2,6-difluorophenyl)methyl]-5,8-dihydro-3-[[methyl(phenylmethyl)amino]methyl]-5-oxo-6-phenylimidazo[1,2-a]pyrimidin-2-yl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



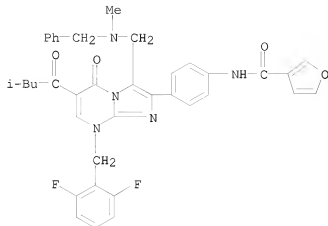
● HCl

RN 229484-84-8 HCAPLUS  
 CN 3-Furancarboxamide, N-[4-[8-[(2,6-difluorophenyl)methyl]-5,8-dihydro-7-methyl-3-[[methyl(phenylmethyl)amino]methyl]-5-oxo-6-(phenylmethyl)imidazo[1,2-a]pyrimidin-2-yl]phenyl]-, hydrochloride (1:1)  
 (CA INDEX NAME)



● HCl

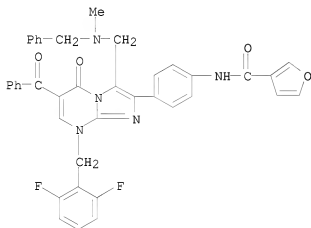
RN 229484-88-2 HCAPLUS  
 CN 3-Furancarboxamide, N-[4-[8-[(2,6-difluorophenyl)methyl]-5,8-dihydro-6-(3-methyl-1-oxobutyl)-3-[[methyl(phenylmethyl)amino]methyl]-5-oxoimidazo[1,2-a]pyrimidin-2-yl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

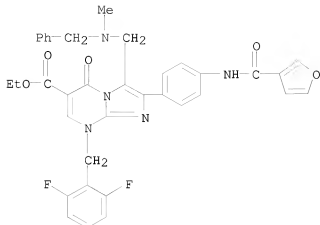
RN 229485-03-4 HCAPLUS

CN 3-Furancarboxamide, N-[4-[6-benzoyl-8-[(2,6-difluorophenyl)methyl]-5,8-dihydro-3-[[methyl(phenylmethyl)amino]methyl]-5-oxoimidazo[1,2-a]pyrimidin-2-yl]phenyl]- (CA INDEX NAME)



RN 229485-15-8 HCAPLUS

CN Imidazo[1,2-a]pyrimidine-6-carboxylic acid, 8-[(2,6-difluorophenyl)methyl]-2-[4-[(3-furanylcarbonyl)amino]phenyl]-5,8-dihydro-3-[[methyl(phenylmethyl)amino]methyl]-5-oxo-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)



● HC1

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:104514 HCAPLUS

DOCUMENT NUMBER: 130:153583

TITLE: Tricyclic benzazepine oxytocin and vasopressin antagonists

INVENTOR(S): Albright, Jay Donald; Sum, Fuk-Wah

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: U.S., 110 pp., Cont.-in-part of U.S. Ser. No. 254,823. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

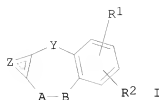
FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

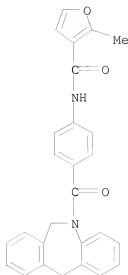
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869483	A	19990209	US 1996-639014	19960424 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
US 5693635	A	19971202	US 1996-662546	19960613 <--
US 5834461	A	19981110	US 1997-874314	19970613 <--
US 5843952	A	19981201	US 1997-889858	19970708 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728
			US 1996-639014	A2 19960424
			US 1996-663400	B1 19960613

OTHER SOURCE(S): MARPAT 130:153583

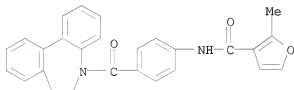
GI



- AB This invention relates to title compds. I wherein: Y = e.g., (CH<sub>2</sub>)<sub>n</sub>, O, S wherein n is an integer from 0-2; A-B is (CH<sub>2</sub>)<sub>m</sub>NR<sub>3</sub> or NR<sub>3</sub>(CH<sub>2</sub>)<sub>m</sub>, wherein m is an integer from 1-2, provided that when Y is (CH<sub>2</sub>)<sub>n</sub> and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH<sub>2</sub>)<sub>n</sub> and n is 2, m may not also be two; R<sub>1</sub> = e.g., H, halo, OH; R<sub>2</sub> = e.g., H, halo, OH; R<sub>3</sub> is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the aromatic Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V<sub>1</sub> and/or V<sub>2</sub> receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for preparing such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (preparation given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (preparation given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methylbenzamide which exhibited binding to rat hepatic V<sub>1</sub> receptors and rat kidney medullary V<sub>2</sub> receptors with IC<sub>50</sub> = 0.15 and 0.068 μM, resp., and oxytocin receptor binding with IC<sub>50</sub> = 2.9 μM.
- IT 169879-40-7P 220252-42-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (tricyclic benzazepine oxytocin and vasopressin antagonists)
- RN 169879-40-7 HCAPLUS
- CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 220252-42-6 HCAPLUS  
 CN 3-Furancarboxamide, N-[4-[(6,7-dihydro-5H-dibenz[b,d]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:366893 HCAPLUS  
 DOCUMENT NUMBER: 129:54301  
 ORIGINAL REFERENCE NO.: 129:11320h,11321a  
 TITLE: Preparation of tricyclic benzazepine vasopressin antagonists  
 INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred  
 PATENT ASSIGNEE(S): American Cyanamid Co., USA  
 SOURCE: U.S., 103 pp., Cont.-in-part of U. S. 5,512,563.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 10  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 5760031	A	19980602	US 1996-637911	19960425 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--

NZ 299340  
PRIORITY APPLN. INFO.:

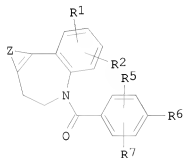
A 20000825

NZ 1994-299340  
US 1993-100003  
US 1994-254823  
NZ 1994-264116

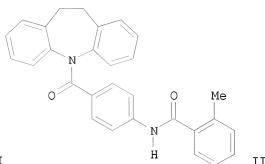
19940728 <--  
B2 19930729  
A2 19940613  
A1 19940728

OTHER SOURCE(S):  
GI

MARPAT 129:54301



I



II

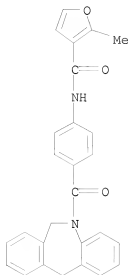
AB The title compds. [I; R1 = H, Cl, F, etc.; R2 = H, Cl, Br, etc.; R1R2 = methylenedioxy, ethylenedioxy; R5 = H, Me, Et, etc.; R6 = N(Ra)COAr', CON(Ra)Ar', etc. (Ra = H, Me, Et; Ar' = (un)substituted Ph, thienyl, etc.); R7 = H, Me, Et, etc.; Z = (un)substituted fused oxazole, Ph], which exhibit antagonist activity at V1 and/or V2 receptors and in vivo vasopressin antagonist activity as well as antagonist activity at oxytocin receptors, and as such useful in treating diseases characterized by excess renal reabsorption of water (e.g., congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, renal vasospasm, liver cirrhosis, brain edema, cerebral ischemia, cerebral hemorrhage-stroke), were prepared. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine in pyridine at 80° for 18 h followed by the addition of NaH afforded the compound II which showed IC50 of 2.5 μM against rat hepatic V1 receptor binding and IC50 of 0.86 μM against rat kidney medullary V2 receptor binding.

IT 169879-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of tricyclic benzazepine vasopressin antagonists)

RN 169879-40-7 HCAPLUS

CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:289524 HCAPLUS

DOCUMENT NUMBER: 128:321569

ORIGINAL REFERENCE NO.: 128:63744h,63745a

TITLE: Preparation of tricyclic benzazepine vasopressin antagonists

INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 101 pp., Cont.-in-part of U.S. Ser. No. 5,512,563.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

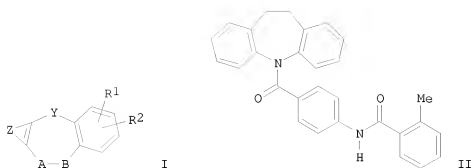
FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

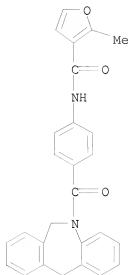
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5747487	A	19980505	US 1996-638067	19960425 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728

OTHER SOURCE(S): MARPAT 128:321569

GI



- AB The title compds. [I; Y = a bond; AB = (CH<sub>2</sub>)<sub>2</sub>N(R<sub>3</sub>); R<sub>1</sub> = H, halo, OH, etc.; R<sub>2</sub> = H, halo, OH, etc.; R<sub>1</sub>R<sub>2</sub> = methylenedioxy, ethylenedioxy; R<sub>3</sub> = C(O)Ar (wherein Ar = (un)substituted Ph, thienyl, etc.); Z = (un)substituted fused benzo, thiazole, etc.], which exhibit antagonistic activity at V<sub>1</sub> and/or V<sub>2</sub> receptors, in vivo vasopressin antagonist activity, and antagonistic activity at oxytocin receptors, and therefore useful in treating diseases characterized by excess renal reabsorption of water such as congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, liver cirrhosis, brain edema, cerebral ischemia, or cerebral hemorrhage-stroke, were prepared Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine in pyridine afforded the title compound II which showed IC<sub>50</sub> of 2.5 μM against rat hepatic V<sub>1</sub> receptors binding and IC<sub>50</sub> of 0.86 μM against rat kidney medullary V<sub>2</sub> receptors binding.
- IT 169879-40-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tricyclic benzazepine vasopressin antagonists)
- RN 169879-40-7 HCAPLUS
- CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:226808 HCAPLUS

DOCUMENT NUMBER: 128:282791

ORIGINAL REFERENCE NO.: 128:55979a,55982a

TITLE: Preparation of tricyclic benzazepine vasopressin antagonists

INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred; Sum, Fuk-wah; Du, Xuemei

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 104 pp., Cont.-in-part of U.S. 5,512,563.

CODEN: USXXAM

DOCUMENT TYPE: Patent

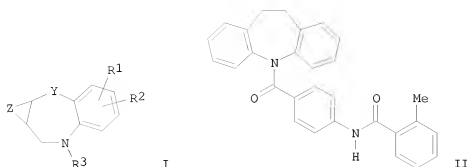
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5739128	A	19980414	US 1996-637058	19960424 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
US 5786353	A	19980728	US 1997-893497	19970711 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728
			US 1996-637058	A3 19960424

OTHER SOURCE(S): MARPAT 128:282791  
GI

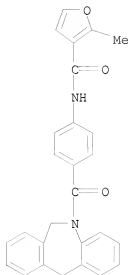


AB The title compds. [I; Z-containing ring = (un)substituted fused Ph; Y = NH, NCOME; N(C1-3 alkyl); R1 = H, halo, OH, etc.; R2 = H, Cl, Br, I, F, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(O)Ar (wherein Ar = (un)substituted Ph, furanyl, thienyl, pyrrolyl)] which exhibit antagonist activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and antagonist activity at oxytocin receptors, and are therefore useful in treating diseases characterized by excess renal reabsorption of water, were prepared. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine and NaH in pyridine afforded compound II which showed IC<sub>50</sub> of 2.5  $\mu$ M against rat hepatic V1 receptor binding and IC<sub>50</sub> of 0.86  $\mu$ M against rat kidney medullary V2 receptor binding.

IT 169879-40-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tricyclic benzazepine vasopressin antagonists)

RN 169879-40-7 HCAPLUS

CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:219347 HCAPLUS

DOCUMENT NUMBER: 128:257347

ORIGINAL REFERENCE NO.: 128:50947a

TITLE: Tricyclic benzazepine oxytocin and vasopressin antagonists

INVENTOR(S): Albright, Jay Donald; Du, Xuemei

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: U.S., 109 pp., Cont.-in-part of U.S. 5,512,563.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

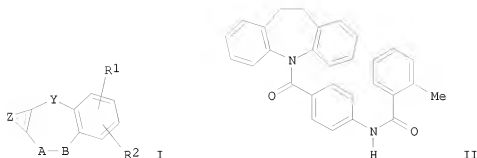
FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

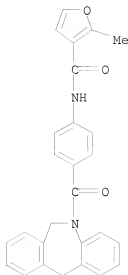
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5736538	A	19980407	US 1996-638059	19960425 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728

OTHER SOURCE(S): MARPAT 128:257347

GI



- AB This invention relates to title compds. I wherein: Y = e.g., (CH<sub>2</sub>)<sub>n</sub>, O, S wherein n is an integer from 0-2; A-B is (CH<sub>2</sub>)<sub>m</sub>NR<sub>3</sub> or NR<sub>3</sub>(CH<sub>2</sub>)<sub>m</sub>, wherein m is an integer from 1-2, provided that when Y is (CH<sub>2</sub>)<sub>n</sub> and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH<sub>2</sub>)<sub>n</sub> and n is 2, m may not also be two; R<sub>1</sub> = e.g., H, halo, OH; R<sub>2</sub> = e.g., H, halo, OH; R<sub>3</sub> is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the aromatic Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V<sub>1</sub> and/or V<sub>2</sub> receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for preparing such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (preparation given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (preparation given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methylbenzamide (II) which exhibited binding to rat hepatic V<sub>1</sub> receptors and rat kidney medullary V<sub>2</sub> receptors with IC<sub>50</sub> = 0.15 and 0.068 μM, resp., and oxytocin receptor binding with IC<sub>50</sub> = 2.9 μM.
- IT 169879-40-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (tricyclic benzazepine oxytocin and vasopressin antagonists)
- RN 169879-40-7 HCAPLUS
- CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:772293 HCAPLUS

DOCUMENT NUMBER: 128:48246

ORIGINAL REFERENCE NO.: 128:9479a,9482a

TITLE: Preparation of tricyclic benzazepines as vasopressin antagonists

INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 103 pp., Cont.-in-part of U.S. Ser. No. 639,014. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

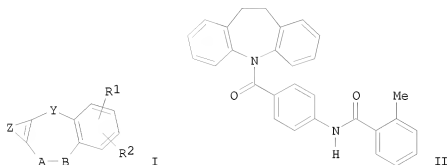
FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693635	A	19971202	US 1996-662546	19960613 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
US 5869483	A	19990209	US 1996-639014	19960424 <--
WO 9747625	A1	19971218	WO 1997-US9549	19970603 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9732965	A	19980107	AU 1997-32965	19970603 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613

US 1996-639014	A2 19960424
NZ 1994-264116	A1 19940728
US 1996-662546	A 19960613
WO 1997-US9549	W 19970603

OTHER SOURCE(S): MARPAT 128:48246  
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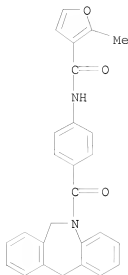
AB The title compds. [I; Y = a bond; AB= (CH<sub>2</sub>)<sub>2</sub>NR<sub>3</sub>, NR<sub>3</sub>(CH<sub>2</sub>)<sub>2</sub>; R<sub>1</sub> = H, halo, OH, etc.; R<sub>2</sub> = H, halo, OH, etc.; R<sub>1</sub>R<sub>2</sub> = methylenedioxy, ethylenedioxy; R<sub>3</sub> = COAr (wherein Ar = substituted Ph); Z with two carbon atoms attached represents a (un)substituted fused thiophene ring, Ph, etc.] which exhibit antagonist activity at V<sub>1</sub> and/or V<sub>2</sub> receptors, in vivo vasopressin antagonist activity, and also antagonist activity at oxytocin receptors, and are useful in treating diseases characterized by excess renal reabsorption of water, were prepared. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of NaH and 4-(dimethylamino)pyridine in pyridine afforded II which showed IC<sub>50</sub> of 2.5 μM against rat hepatic V<sub>1</sub> receptor binding and IC<sub>50</sub> of 0.86 μM against rat kidney medullary V<sub>2</sub> receptor binding.

IT 169879-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of tricyclic benzazepines as vasopressin antagonists)

RN 169879-40-7 HCAPLUS

CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



L15 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:735922 HCAPLUS

DOCUMENT NUMBER: 128:22824

ORIGINAL REFERENCE NO.: 128:4475a,4478a

TITLE: Pyridobenzoxazepine and pyridobenzothiazepine vasopressin antagonists

INVENTOR(S): Albright, Jay Donald; Du, Xuemei

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 107 pp., Cont.-in-part of U.S. 5,512,563.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5686445	A	19971111	US 1996-637908	19960425 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
US 5854236	A	19981229	US 1997-834706	19970401 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728
			US 1996-637908	A3 19960425

OTHER SOURCE(S): MARPAT 128:22824

AB Approx. 80 title compds., primarily N-(substituted benzoylamino benzoyl)dibenzazepines, were prepared by N-acylation of the azepine. E.g., acylation of 10,11-dihydro-5H-dibenz[b,f]azepine with o-MeC6H4CONHC6H4COC1-p gave N-[4-(10,11-dihydro-5H-dibenz[b,f]azepin-5-ylcarbonyl)phenyl]-2-methylbenzamide. The title compds. exhibit antagonist activity at V1 and/or V2 receptors and extensive data is given for vasopressin antagonist activity.

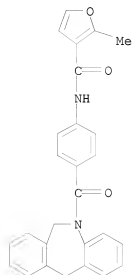
IT 169879-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and vasopressin antagonist activity of (benzoylaminobenzoyl)dibenzazepines)

RN 169879-40-7 HCAPLUS

CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



L15 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:323956 HCAPLUS

DOCUMENT NUMBER: 125:86517

ORIGINAL REFERENCE NO.: 125:16313a,16316a

TITLE: Tricyclic benzazepine oxytocin and vasopressin antagonists

INVENTOR(S): Albright, Jay D.; Sum, Fuk Wah; Du, Xuemei

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: U.S., 95 pp., Cont.-in-part of U.S. Ser. No. 100,003, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5512563	A	19960430	US 1994-254823	19940613 <--
EP 640592	A1	19950301	EP 1994-111040	19940715 <--
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AT 175198	T	19990115	AT 1994-111040	19940715 <--
ES 2125377	T3	19990301	ES 1994-111040	19940715 <--
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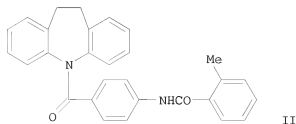
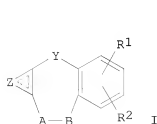
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NO 308601	B1	20001002		
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AU 676737	B2	19970320		
ZA 9405604	A	19950309	ZA 1994-5604	19940728 <--
JP 07179430	A	19950718	JP 1994-195886	19940728 <--
JP 3630449	B2	20050316		
HU 71548	A2	19951228	HU 1994-2223	19940728 <--
HU 221017	B1	20020729		
RU 2149160	C1	20000520	RU 1994-27580	19940728 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
CN 1106802	A	19950816	CN 1994-108768	19940729 <--
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TW 402592	B	20000821	TW 1994-83108599	19940916 <--
US 5739128	A	19980414	US 1996-637058	19960424 <--
US 5869483	A	19990209	US 1996-639014	19960424 <--
US 5686445	A	19971111	US 1996-637908	19960425 <--
US 5736538	A	19980407	US 1996-638059	19960425 <--
US 5747487	A	19980505	US 1996-638067	19960425 <--
US 5760031	A	19980602	US 1996-637911	19960425 <--
US 5693635	A	19971202	US 1996-662546	19960613 <--
US 5854236	A	19981229	US 1997-834706	19970401 <--
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US 5843952	A	19981201	US 1997-889858	19970708 <--
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PRIORITY APPLN. INFO.:

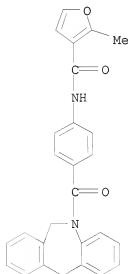
US 1993-100003	B2	19930729
US 1994-254823	A2	19940613
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US 1996-637058	A3	19960424
US 1996-639014	A2	19960424
US 1996-637908	A3	19960425
US 1996-663400	B1	19960613

OTHER SOURCE(S):  
GI

MARPAT 125:86517



- AB This invention relates to title compds. I wherein: Y = e.g., (CH<sub>2</sub>)<sub>n</sub>, O, S wherein n is an integer from 0-2; A-B is (CH<sub>2</sub>)<sub>m</sub>NR<sub>3</sub> or NR<sub>3</sub>(CH<sub>2</sub>)<sub>m</sub>, wherein m is an integer from 1-2, provided that when Y is (CH<sub>2</sub>)<sub>n</sub> and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH<sub>2</sub>)<sub>n</sub> and n is 2, m may not also be two; R<sub>1</sub> = e.g., H, halo, OH; R<sub>2</sub> = e.g., H, halo, OH; R<sub>3</sub> is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the aromatic Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V<sub>1</sub> and/or V<sub>2</sub> receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for preparing such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (preparation given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (preparation given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methylbenzamide (II) which exhibited binding to rat hepatic V<sub>1</sub> receptors and rat kidney medullary V<sub>2</sub> receptors with IC<sub>50</sub> = 0.15 and 0.068 μM, resp., and oxytocin receptor binding with IC<sub>50</sub> = 2.9 μM.
- IT 169879-40-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(tricyclic benzazepine oxytocin and vasopressin antagonists)
- RN 169879-40-7 HCAPLUS
- CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



=&gt; LOG Y

10576095

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	70.71	471.03
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-8.80	-12.80

STN INTERNATIONAL LOGOFF AT 14:15:00 ON 27 OCT 2008